

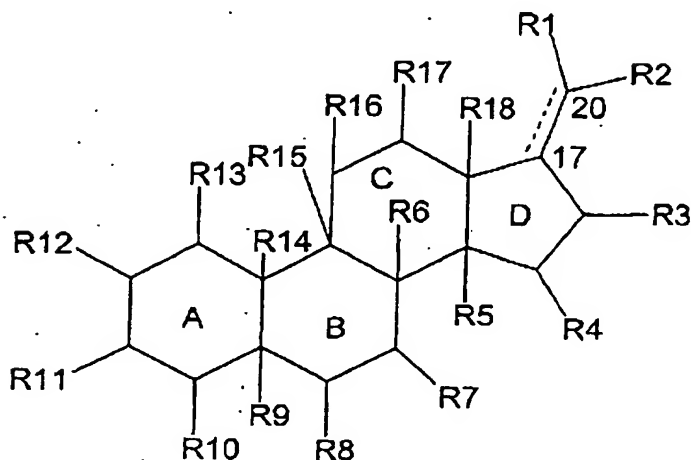
DT09 Rec'd PCT/PTO 04 OCT 2008

10/509911

ART 34 AMDT

## CLAIMS

1. A compound according to formula I



wherein the fused rings A, B, C and D are independently saturated or fully or partially unsaturated;

the bond between C-17 and C-20 is depicted with a full and a dotted line to indicate that said bond can be a single or a double bond;

wherein R1 is hydrogen, halogen, a lipophilic group,  $-(Z)_n-(NR-Z)_p-N(R)_2$  or  $C(O)-(Z)_n-(NR-Z)_p-N(R)_2$ , wherein n is 0 or 1 and p is an integer from 1 and 5;

each Z independently represents straight or branched hydrocarbon diradical, optionally substituted with  $C_{1-6}$  alkyl,  $C_{1-6}$  alkenyl,  $C_{1-6}$  alkynyl, hydroxy, alkoxy, amino,  $C_{1-6}$  aminoalkoxy,  $C_{1-6}$  aminoalkyl,  $C_{1-6}$  aminoalkylaminocarbonyl,

$C_{1-6}$  alkyl $C_{3-8}$  cycloalkyl or  $C_{1-6}$  alkylheteroaryl;

each R independently represents hydrogen or  $C_{1-6}$  alkyl,  $C_{1-6}$  aminoalkyl,

$C_{1-6}$  aminoalkoxy or  $C_{1-6}$  aminoalkylaminocarbonyl, all of which are optionally substituted with alkyl or  $C_{1-6}$  aminoalkyl;

provided that at least one Z is substituted with  $C_{1-6}$  alkyl,  $C_{1-6}$  alkenyl,  $C_{1-6}$  alkynyl, hydroxy, alkoxy,  $C_{1-6}$  aminoalkoxy,  $C_{1-6}$  aminoalkyl,  $C_{1-6}$  aminoalkylaminocarbonyl,

$C_{1-6}$  alkyl $C_{3-8}$  cycloalkyl or  $C_{1-6}$  alkylheteroaryl, or at least one R is different from hydrogen;

R2 represents halogen,  $C_{1-4}$  alkyl, optionally substituted with COOH;  $C_{1-4}$  alkoxy, -COOH,  $-(Z)_n-(NR-Z)_p-N(R)_2$  or  $C(O)-(Z)_n-(NR-Z)_p-N(R)_2$ ;

R3 represents hydrogen halogen or O-R19, wherein R19 represents hydrogen, -SO<sub>3</sub>,

$C_{1-6}$  alkyl,  $C_{1-6}$  acyl or  $-(Z)_n-(NR-Z)_p-N(R)_2$ ;

each of R4, R7, R8, R11, R12, R13, R16 and R17 independently represent hydrogen, halogen, hydroxy, -OSO<sub>3</sub>, -O-acyl,  $-(Z)_n-(NR-Z)_p-N(R)_2$  or

## ART 34 AMDT

$C(O)-(Z)_n-(NR-Z)_p-N(R)_2$ ;

R10 represents hydrogen, methyl, halogen, hydroxy,  $-OSO_3$ ,  $-O$ -acyl,  $-(Z)_n-(NR-Z)_p-N(R)_2$  or

$C(O)-(Z)_n-(NR-Z)_p-N(R)_2$ ;

each of R5, R6, R9, R14, R15 and R18 independently represent hydrogen or methyl or are  
each independently absent when one of the fused rings, A, B, C and D are unsaturated so as  
to complete the valency of the carbon atom at that site;

provided that at least one, and not more than three of R1, R2, R4, R7, R8, R10, R11, R12,  
R13, R16 and R17 is  $-(Z)_n-(NR-Z)_p-N(R)_2$  or

$C(O)-(Z)_n-(NR-Z)_p-N(R)_2$ ;

provided that the compound is not

3 $\beta$ -hydroxy-6 $\beta$ -(2-dimethylaminoethyl)amino-5 $\alpha$ -stigmastane,

3 $\beta$ -hydroxy-6 $\beta$ -(2-diethylaminoethyl)amino-5 $\alpha$ -stigmastane,

3 $\beta$ -hydroxy-6 $\beta$ -(3-dimethylaminopropyl)amino-5 $\alpha$ -stigmastane,

3 $\beta$ -hydroxy-6 $\alpha$ -(2-diethylaminoethyl)amino-5 $\alpha$ -stigmastane,

3 $\beta$ -hydroxy-6 $\beta$ -(2-dimethylaminoethyl)amino-5 $\alpha$ -cholestane,

3 $\beta$ -hydroxy-6 $\beta$ -(2-diethylaminoethyl)amino-5 $\alpha$ -cholestane,

3 $\beta$ -hydroxy-6 $\beta$ -(3-dimethylaminopropyl)amino-5 $\alpha$ -cholestane,

3 $\beta$ -hydroxy-6 $\alpha$ -(2-diethylaminoethyl)amino-5 $\alpha$ -cholestane,

20-( $\gamma$ -diethylaminopropyl)-amino-5 $\alpha$ -pregnan-3 $\beta$ -ol,

20-( $\beta$ -diethylaminoethyl)-amino-5 $\alpha$ -pregnan-3 $\beta$ -ol,

20-( $\beta$ -dimethylaminoethyl)-amino-5 $\alpha$ -pregnan-3 $\beta$ -ol,

20-( $\beta$ -dimethylaminoethyl)-aminopregn-5-en-3 $\beta$ -ol,

20-( $\beta$ -diethylaminoethyl)-aminopregn-5-en-3 $\beta$ -ol,

N( $\beta$ -diethylaminoethyl)-3 $\alpha$ ,7 $\alpha$ ,12 $\alpha$ -trihydroxy-5 $\beta$ -cholan-24-amide,

N( $\beta$ -diethylaminoethyl)-3 $\alpha$ ,12 $\alpha$ -dihydroxy-5 $\beta$ -cholan-24-amide,

N( $\beta$ -diethylaminoethyl)-3 $\alpha$ ,7 $\alpha$ ,12 $\alpha$ -trihydroxy-5 $\beta$ -cholan-24-amine, or

N( $\beta$ -diethylaminoethyl)-3 $\alpha$ ,12 $\alpha$ -dihydroxy-5 $\beta$ -cholan-24-amine, and

and pharmaceutically acceptable salts or esters thereof.

2. A compound according to claim 1, wherein R2 represents  $-(Z)_n-(NR-Z)_p-N(R)_2$  or  $C(O)-(Z)_n-(NR-Z)_p-N(R)_2$ .

3. A compound according to claim 1, wherein R7, R11 and/or R16 represents  $-(Z)_n-(NR-Z)_p-N(R)_2$  or  $C(O)-(Z)_n-(NR-Z)_p-N(R)_2$ .

4. A compound according to claim 1, wherein R1 represents a lipophilic group.

## ART 34 AMDT

5. A compound according to claim 1, wherein R1 is selected from the group consisting of straight or branched, saturated or unsaturated C<sub>1-10</sub>alkyl, aryl, C<sub>3-8</sub>cycloalkyl, aralkyl with 1-10 carbon atoms in the alkyl moiety, C<sub>1-10</sub>alkylaryl, C<sub>1-10</sub>alkyl-C<sub>3-8</sub>cycloalkyl, C<sub>1-10</sub>alkoxy and heteroaryl.

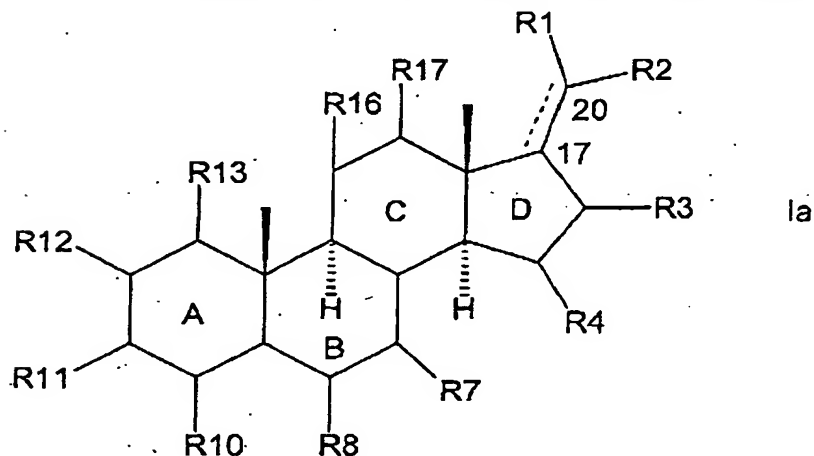
6. A compound according to any of claims 1-5, wherein R19 represents C<sub>1-6</sub>alkyl or C<sub>1-6</sub>acyl.

7. A compound according to any of claims 1-6, wherein R7, R11 and/or R16 represents OH

8. A compound according to any of claims 1-5, wherein R11 represents -OSO<sub>3</sub>.

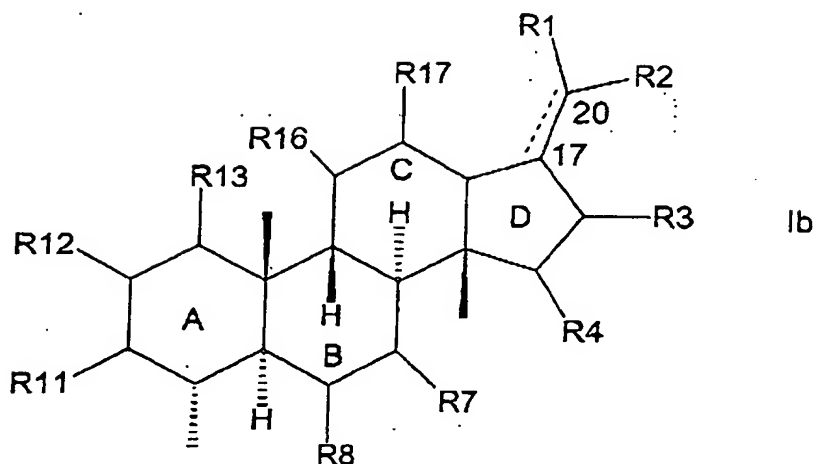
9. A compound according to any of claims 1-5, wherein R11 represents -O-acyl.

10. A compound according to claim 1 which has the general formula Ia

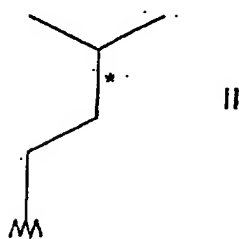


11. A compound according to claim 1 which has the general formula Ib

39



12. A compound according to claim 10 or 11, wherein R2 represents  $-(Z)_n-(NR-Z)_p-N(R)_2$  or  $C(O)-(Z)_n-(NR-Z)_p-N(R)_2$ .
13. A compound according to claim 12, wherein R7 and R11 are both hydroxy.
14. A compound according to claim 12, wherein R11 and R16 are both hydroxy.
15. A compound according to claim 12, wherein R3 is  $-OR_{19}$ , wherein R19 is  $C_{1-6}$ alkyl or  $C_{1-6}$ acyl.
16. A compound according to claim 12, wherein R1 is a lipophilic group.
17. A compound according to claim 12, wherein R1 is a straight or branched, saturated or unsaturated  $C_{1-10}$ hydrocarbon.
18. A compound according to claim 12, wherein R1 is a moiety of formula II



wherein the carbon-carbon bond denoted "\*" is a single or double bond.

ART 34 AMDT

5 40

19. A compound according to claims 10 or 11, wherein R11 represents  $-(Z)_n-(NR-Z)_p-N(R)_2$  or  $C(O)-(Z)_n-(NR-Z)_p-N(R)_2$ .

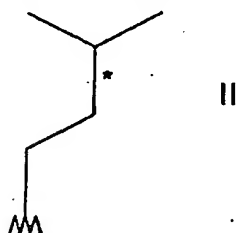
20. A compound according to claim 19, wherein R2 is  $C_{1-4}$ alkyl, optionally substituted with COOH,  $C_{1-4}$ alkoxy or COOH.

21. A compound according to claim 19, wherein R3 is O-R19, wherein R19 represents  $C_{1-6}$ alkyl or  $C_{1-6}$ acyl.

22. A compound according to claim 19, wherein R1 is a lipophilic group.

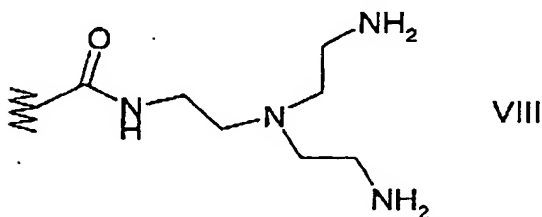
23. A compound according to claim 19, wherein R1 is a straight or branched, saturated or unsaturated  $C_{1-10}$ hydrocarbon.

24. A compound according to claim 19, wherein R1 is a moiety of formula II

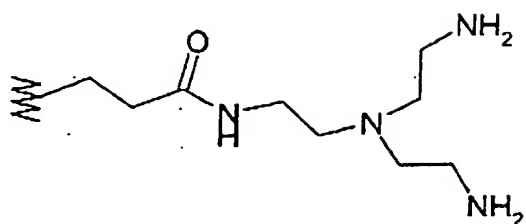


wherein the carbon-carbon bond denoted "\*" is a single or double bond.

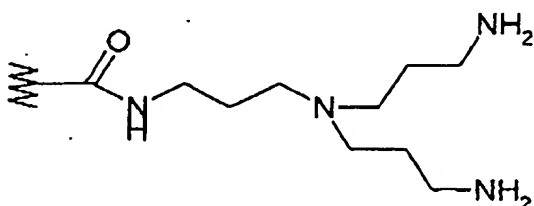
25. A compound according to any one of claims 1, 10 or 11, wherein R2 and/or R11 represents a moiety of the formula VIII, IX, X, XI, XII or XIII



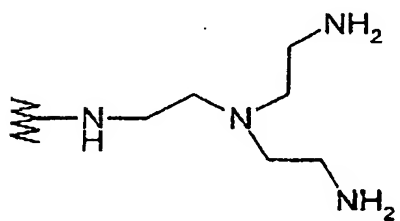
ART 34 AMDT



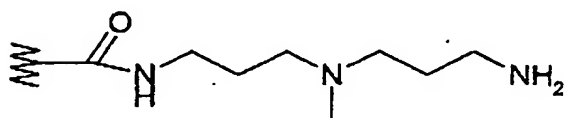
IX



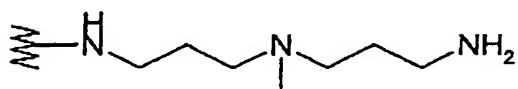
X



XI



XII



XIII

26. A compound according to claim 1 selected from the list consisting of

21-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-17R,20S,24,25-tetrahydrofusid-21-amide,

21-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-11-desoxy -17R,20S,24,25-tetrahydrofusid-21-amide,

21-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-16-desacetoxy-17R,20S,24,25-tetrahydrofusid-21-amide,

21-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-13(17)-en-17,20,24,25-tetrahydrofusidan-21-carboxamide,

## ART 34 AMDT

21-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-3 $\beta$ -desacetoxy-17R,20S,24,25-tetrahydrofusid-  
21-amide,

21-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-9(11)-en-17R,20S,24,25-tetrahydrofusid-21-  
amide,

5 24-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-3 $\alpha$ -hydroxy-5 $\beta$ -cholan-24-amide,

22-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-23,24-bisnor-5-cholenic-22-amide,

21-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-fusid-21-amide,

21-N-{3'-[bis(3'-aminopropyl)amino]propyl}-fusid-21-amide,

10 21-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-3-OSO<sub>3</sub>-11-desoxy-17,20,24,25-tetrahydro-  
fusid-21-amide,

21-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-11-desoxy-16-desacetoxy-17S,20,24,25-  
tetrahydrofusid-21-amide,

21-N-{3'-[bis(3'-aminopropyl)amino]propyl}-17R,20S,24,25-tetrahydrofusid-21-amide,

22-N-{3'-[bis(3'-aminopropyl)amino]propyl}-23,24-bisnor-5-cholenic-22-amide,

15 21-N-{3'-[bis(3'-aminopropyl)amino]propyl}-3-OAc-17R,20S,24,25-tetrahydrofusid-21-  
amide,

21-N-{3'-[bis(3'-aminopropyl)amino]propyl}-3-OSO<sub>3</sub>-11-desoxy-17,20,24,25-  
tetrahydrofusid-21-amide,

20 21-N-{3'-[bis(3'-aminopropyl)amino]propyl}-11-desoxy-16-desacetoxy-17S,20,24,25-  
tetrahydrofusid-21-amide,

3-N-{2'-[bis(2'-aminoethyl)amino]ethyl}-fusidic acid,

21-N-{3'-[(3'-aminopropyl)(methyl)amino]propyl}-17R,20S,24,25-tetrahydrofusid-21-  
amide,

25 21-N-{3'-[(3'-aminopropyl)(methyl)amino]propyl}-11-desoxy-17R,20S,24,25-  
tetrahydrofusid-21-amide,

21-N-{3'-[(3'-aminopropyl)(methyl)amino]propyl}-16-desacetoxy-17R,20S,24,25-  
tetrahydrofusid-21-amide,

24-N-{3'-[(3'-aminopropyl)(methyl)amino]propyl}-3 $\alpha$ -hydroxy-5 $\beta$ -cholan-24-amide,

30 21-N-{3'-[(3'-aminopropyl)(methyl)amino]propyl}-11desoxy-16-desacetoxy-  
17R,20S,24,25-tetrahydrofusid-21-amide,

3-N-{3'-[bis(3'-aminopropyl)amino]propyl}-fusidic acid,

3-N-{3'-[(3'-aminopropyl)(methyl)amino]propyl}-fusidic acid.

27. A pharmaceutical composition comprising a compound according to any of claims 1-  
35 26, optionally together with a pharmaceutically acceptable excipient or vehicle, and  
optionally other therapeutically active agents.

28. A composition according to claim 27, wherein said other therapeutically active agent

ART 34 AMDT

43

is selected from the group consisting of penicillins, cephalosporins, tetracyclines, rifamycins, erythromycins, lincomycin, clindamycin, flouroquinolones, corticosteroids, hydrocortosone and triamcinolone.

- 5 29. The use of a compound according to any of claims 1-26 for the manufacture of a medicament for the treatment of prevention of infections.
30. The use according to claim 29, wherein the infection is bacterial
- 10 31. The use according to claim 29, wherein said compound is combined with one or more other therapeutically active ingredients.
- 15 32. The use according to claim 29, wherein said compound is combined with one or more other compounds selected from the group consisting of penicillins, cephalosporins, tetracyclines, rifamycins, erythromycins, lincomycin, clindamycin, flouroquinolones, corticosteroids, hydrocortosone and triamcinolone.
- 20 33. A method of preventing or treating infection, the method comprising administering to a patient in need thereof an effective amount of a compound according to any of claims 1-27.
34. A method according to claim 33, wherein said infection is bacterial.
- 25 35. A method according to claim 33, wherein said compound is administered simultaneously or sequentially with one or more other therapeutically active agents.
- 30 36. A method according to claim 35, wherein said other therapeutically active agent is selected from the list consisting of penicillins, cephalosporins, tetracyclines, rifamycins, erythromycins, lincomycin, clindamycin, flouroquinolones, corticosteroids, hydrocortosone and triamcinolone.